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**Patentanmeldung Nr. Patent application No. Demande de brevet n°**

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Bezeichnung der Erfindung/Title of the invention/Titre de l'invention:
(Falls die Bezeichnung der Erfindung nicht angegeben ist, siehe Beschreibung.
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Ambiphilic porous matrix

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# AMBIPHILIC POROUS MATRIX

## FIELD OF THE INVENTION

This invention relates to skin care compositions which adsorb sebum and transfer beneficial oils and hydrating components from a reservoir provided by a porous ambiphilic matrix.

## BACKGROUND TO THE INVENTION

Sebaceous glands are located in the hair follicles and throughout the skin but not the soles of the feet and palms of the hand. Together with sweat glands they are a necessary part of normal skin physiology, as the sebum helps to maintain hair and skin lubricity and prevents excess loss of moisture. Sebum is made up of fatty acids, esters, glycerides and other endogenous lipids. Along with natural moisturizers in the epidermis, it helps to keep the skin soft and hydrated. Production of natural oils is influenced by hormonal and environmental factors and exacerbated by elevated temperatures. In teenagers and some adults, there may be over production and this can result in oily or greasy skin and scalp (hair).

The problem is particularly noticeable around exposed regions such as the forehead and the triangular area bordering the nose. Freshly secreted sebum has some antibacterial properties and is not harmful. However where there is excess secretion and it is not washed away or removed, the sebum can combine with cell debris and pollutants to form waxy plugs or comedones which block pores and encourage bacterial colonization. Comedones are implicated in some forms of acne.

Other than cleansing lotions, facial washes and shampoos various skin care products are widely used to address the aesthetic problems associated with oily skin and greasy hair. However there is still a need for more user friendly skin care products that absorb/adsorb and mop up excess oils without dehydrating the epidermis or damaging hair.

Skin care compositions that are used for covering up oily skin are adsorbents such as silicones, talcum powder, and clays such as bentonites. These have oil adsorbing properties because of the large surface areas provided by the particles. Broadly, there are three types of application for removing oils or covering up oily skin. In one approach the compositions are applied as such from compressed powder cakes to adsorb oily patches. The problem with powders is that the small particles block pores and prevent the skin from transpiring. The second approach is more of a treatment where a suspension or paste is applied as a face mask and allowed to dry before removal. Although more effective, the drawback with this method is that the mask has to be left on for a period and is not convenient for routine use. The treatment also dries the skin by removing all natural lubricants and moisture. More usually, the powders and/or anti-sebum components are incorporated into aqueous creams and gel like cosmetic compositions to give the skin a mat or non glossy appearance. However, the compositions as a whole (rather than the individual parts) may simply dehydrate the skin without sufficient capacity to mop or cover up oil which is continuously secreted by sebaceous glands. Environmental pollutants such as fumes from car exhausts can also pose a challenge to total adsorption efficacy. Although the problems described concern oily skin, it should be understood that the invention principle may also be applied to hair care products for treating greasy hair and scalp conditions.

## SUMMARY OF THE INVENTION

The present invention is in the area of 'porous oil adsorber' and 'ambiphilic matrix reservoir' that provides a moist and compatible micro environment for skin care and other applications.

The invention describes skin care compositions which are capable of forming a semi permeable or porous polymeric matrix for adsorbing sebum in exchange for beneficial oils and transfer of hydrating components to the skin.

In one aspect, the invention describes an ambiphilic powder mixture comprising;

a) water insoluble porous particles with specific surface area greater than 50 $m^2/gm$,

b) at least one lipophilic component,

c) at least one hydro-active component and/or hydrophilic polymer,

d) 1 wt % to 50 wt % water,

e) optionally at least one amphiphilic or amphipathic surfactant,

f) optionally a biologically active compound and other excipients,

that is included in skin care compositions with the capacity to adsorb sebum and replenish the skin with beneficial oils and moisture from a reservoir provided by a porous matrix.

In another aspect, the invention also describes a method to adsorb/absorb sebum and hydrate skin involving a dispersed system comprising;

a) water insoluble porous particles with specific surface area greater than $50m^2/gm$,

b) at least one lipophilic component,

c) at least one hydro active component dissolved with a swollen hydrophilic polymer,

d) 50 wt % to 99 wt % water,

e) optionally at least one amphiphilic or amphipathic surfactant,

f) optionally a biological active compound and other excipients.

that dries to a porous ambiphilic matrix and provides a reservoir for transfer of lubricating and hydrating component/s to the skin by diffusion.

## DETAILED DESCRIPTION OF THE INVENTION

'oils' in this specification include not only sebum secreted by sebaceous glands but also olioginous substances.

'anti-sebum' components are biologically active compounds which influence sebum secretion.

'hydro-active' compounds are hydrating components which are non volatile and dissolve easily in water. They have the capacity to bind onto water molecules and aid skin rehydration and reduce trans epidermal water loss by maintaining a moist, humid micro-environment over the skin.

'ambiphilic' describes a composition which has both hydrophilic and lipophilic properties, i.e. it has affinity for water as well as oil.

'adsorb' or adsorption is a surface phenomenon whereby water or oil molecules are attached to the surfaces of single insoluble particles. In this specification the single particles form porous agglomerates, with mean particle size preferably about1μ to 5μ.

'absorb' or absorbtion refers to the immobilization or 'mopping up' of oils (likened to a sponge) by the multi-component skin care compositions described in this specification.

'skin' in this specification includes scalp and all external body surfaces.

'skin-care' refers to products and external applications that confer beneficial physiological, functional, aesthetic and cosmetic properties and are perceived to improve skin condition.

'dispersion' includes all types of multi component systems including but not limited to lotions, gels, creams and paste- like compositions comprising porous particles dispersed or suspended in water.

The invention describes novel ambiphilic compositions comprising inorganic or organic water insoluble porous agglomerates characterized by surface areas between $50m^2/gm$ to $500m^2/gm$ with the capacity to adsorb at least an equal volume by weight of a liquid. The invention further describes a method of absorbing or removing oils or to cover up oily skin by creating a micro environment under a porous matrix type reservoir that transfers beneficial oils and hydrating components in exchange. Optionally, the reservoir may contain biologically active components with or without additional anti- sebum effects.

According to one embodiment, the invention is an ambiphilic, pulverulant composition. The powder mixture may be used to prepare slurries or pastes, hydrophilic creams or gel like dispersed aqueous compositions for cosmetic and other topical applications. The slurries or paste like compositions may be milled to obtain homogeneity. They are also suitable for use in lip sticks and water-in-oil systems to provide a porous matrix and micro reservoir for extended transfer

of lipophilic and hydrophilic components and desired biologically active compounds. Furthermore, the invention may be used in hair care products, such as shampoos and conditioners to adsorb excess oil from scalp and hair and replenish with conditioning components and moisturizers.

The ambiphilic powder composition comprises;

a) 10 wt % to 95 wt % of at least one type of organic or inorganic water insoluble porous particles with surface area greater than 50 $m^2/gm$, preferably 25 wt % to 75 wt %.

b) 1.0 wt % to 50 wt % of at leas at least one lipophilic component compatible with sebum, preferably 5 wt % to 25 wt %.

c) 1.0 wt % to 50 wt % of at least one hydro-active and/or hydrophilic polymer, preferably, 5 wt % to 25 wt %.

d) 1.0 wt % to 50 wt % by weight of water.

e) Optionally, at least one amphiphilic or amphipathic surfactant, preferably 0.5 wt % to 10 wt %.

f) Optionally 1.0 wt % to 10 wt % of a biologically active compound, stabilizers, preservatives and other excipients.

In another embodiment, the invention is an aqueous dispersion which is applied as such to the skin for absorbing, covering or removing oils and transferring hydro active components to the skin to improve water binding capacity and reduce trans epidermal water loss. The aqueous composition dries to a non lustrous ambiphilic porous matrix which forms a reservoir on the skin. Preferably, the aqueous dispersion is prepared by homogeneously suspending and mixing the aforementioned powder mixture composition with an aqueous

medium or base composition, optionally with additional excipients conventionally used to prepare lotions, gels, creams or paste-like compositions. Usually, between 1 wt % to 10 wt % of the said ambiphilic powder mixture is all that is required in the final composition. Alternatively, an aqueous suspension may be prepared by combining and mixing together homogeneously all the individual components present in the final composition. Accordingly, the invention further describes;

a lotion, gel , cream or paste-like aqueous dispersion comprising,

a) 0.5 wt % to 50 wt % of porous particles with surface area greater than $50m^2/gm$, preferably 1 wt % to 10 wt %, most preferably 2 wt % to 5 wt %.

b) 0.25 wt % to 50 wt % of at least one lipophilic component, preferably 1.0 wt % to 10 wt %.

c) 0.25 wt % to 25 wt % of at least one hydro attractant, preferably 0.5 wt % to 10 wt %,

d) 0.1 wt % to 10 wt % at least one hydrophilic polymer or hydrocolloid, preferably 0.25 wt % to 2.5 wt %,

e) 50% to 99% by weight of water,

f) optionally 0.1 wt % to 10 wt % of an amphiphilic or amphipathic surfactant,

g) optionally, 0.1 % to 10 % by weight of a biologically active compound, stabilizers, preservatives and other excipients.

The dispersion is applied on the skin and on drying provides a biologically compatible ambiphilic matrix and reservoir to, a) absorb sebum/oils from the skin/scalp in situ, b) transfer biologically beneficial lipophilic components or

natural oils by means of equilibrium diffusion, c) maintain a moist and humid micro environment for hydration or rehydration. Therefore the skin is neither defatted nor dehydrated. The adsorbed/absorbed oil/sebum is held by the porous particles and in the surrounding matrix. Furthermore the particles are embedded in the matrix and prevented from clogging up pores.

a) ADSORBENTS

Components that may be used as adsorbents are water insoluble porous agglomerates with specific surface area within the range between 50 $m^2g$ to 500 $m^2g$, more preferably, between 150 $m^2$/gm to 300 $m^2$/gm. The mean particle diameter of the agglomerates lie between 1 – 10 µ preferably 1-5µ. Each single particle is between 0.01 - 0.05µ. The porous agglomerates have the capacity to adsorb between 1 – 5 times or more its weight of a liquid.

According to a preferred embodiment, the invention comprises at least one porous material selected from the group consisting of, for example, amorphous aluminometasilicate, calcium silicate, silica, including porous silicon dioxide and silicic acid, dibasic anhydrous calcium phosphate and polystyrene beads/micro-sponges.

Preferred adsorbents which are employed in this invention include inorganic solids, e.g. calcium hydrogen phosphate, which corresponds to the general formula $CaHPO_4 \cdot mH_2O$ (m: 0 □ m □2) commercially available as Fujicalin®; magnesium aluminometasilicate, which corresponds to the general formula $Al_2O_3 \cdot MgO \cdot 2\ SiO_2 \cdot n\ H_2O$ (n: 0 □ n □2), commercially available as Neusilin®; calcium silicate, e.g. Ca2SiO4 or Ca3SiO, and dibasic anhydrous calcium phosphate.

In a preferred embodiment the invention comprises as component a) a porous material selected from the group consisting of amorphous

$Al_2O_3 \cdot MgO \cdot 2SiO_2 \cdot nH_2O$, $CaHPO_4 \cdot mH_2O$, $CaSiO_4$, $Ca_3SiO_5$ and dibasic anhydrous calcium phosphate.

Suitable FUJICALIN products are SG and S and characterised by a mean pore size of $7,0 \times 10^{-10}$ m, a mean particle size of about 2-10 μ, a specific volume of about 1.5 ml/g or more, a BET specific surface area of 20 $m^2/g$ to 60 $m^2/g$, and an oil and water absorption capacity of about 0.7 ml/g.

Suitable NEUSILIN products are Grades S1, SG1, UFL2, US2, FH2, FL1, FL2,S2, SG2,NFL2N and NS2N. Particularly preferred grades are S1, SG1 US2 and UFL2. These materials, which are amorphous, typically have a specific area of about 100 $m^2/g$ to about 300 $m^2/g$, an oil absorption capacity of about 1.3 ml/g to about 3.4 ml/g, a mean particle size of about 1 μ to about 2 μ and a specific volume of about 2.1 ml/g to about 12 ml/g.

Other suitable materials are precipitated amorphous calcium silicate, e.g. Zeopharm® 600 with a surface area of 300 $m^2/g$ and oil absorption capacity of at least 450 ml/100 g, Hubersorb® 250 NF with oil absorbing capacity of 250 – 300 ml/100 g, and Zeopharm® 80 (precipitated amorphous silica) with surface area of 140 $m^2/g$ and oil absorption capacity of 185 - 215 ml/ 100 g. Alternative organic or inorganic porous materials may be used, as long as they have no deleterious effect on the active compound and present internal surface areas which are comparable.

b) LIPOPHILIC COMPONENTS

The lipophilic component may be a functional oil which is compatible with and exchanges for sebum. However, minor amounts of lipophilic polymers e.g. less than 10 wt%, that either swell or dissolve in oils and organic solvents may also be regarded as lipophilic component and lie within the scope of this invention. Preferably it is complexed with the adsorbent to render the particles lipophilic

which assist in attracting lipophilic materials such as sebum into the porous particles embedded in the ambiphilic matrix. Most lipophilic or olioginous components used in cosmetic products for functional and emollient properties are suitable. Preferred oils are the mono, di and triglycerides of fatty acids particularly those from natural sources and fatty acid esters. Preferred examples are e.g. black cumin seed oil which has antimicrobial properties, pumpkin seed oil which has anti sebum properties. Other oils which have therapeutic properties are e.g. wheat germ oil and tocopherols. In particular unsaturated and highly unsaturated oils with two or more double bonds are preferred. Paricularly preferred oils are volatile silicones e.g cyclo penta siloxane, dimethicones andv hydrogenated poly isobutane. Where these oils are used, the amount in the final composition may range from 5 wt % to 5o wt %.

Furthermore, one or more biologically active compound with or without anti sebum properties, excipients, preservatives and stabilisers conventionally used in topical compositions may be included.

c) HYDRO-ACTIVE COMPOUNDS

These are hygroscopic and water soluble compounds that can bind on to water e.g. polyols such as glycerol, propylene glycol, hexalene glycol and sugars e.g. mannitol and sorbitol, etc. They may also be organic compounds such as hyaluronic acid, urea and betaine. Hydro-active compounds reduce trans epidermal water loss which makes the skin dry.

d) HYDROPHILIC POLYMER

The hydrophilic polymer is a gelling agent preferably with film properties. Examples of hydrophilic polymers include but are not limited to the water

dispersible cellulose esters and ethers, natural gums and hydrocolloids such as gelatine, collagen, carbomers, carboxy vinyl co polymers and sodium acrylates.

The following example sets out the typical range of preferred components to illustrate the invention.

Example 1

| | |
|---|---|
| [1]Neusilin UFL2 | 40% - 75% wt/wt |
| [2]Black Cumin seed oil | 5% - 25% wt/wt |
| [3]Phospholipid mixture | 1% -5% wt/wt |
| Hydroxyethyl cellulose | 5% - 20% wt/wt |

[1] Fuji Chemical Industry.
[2] An alternative oil or a lipophilic compound e.g. pumpkin seed oil, wheat germ oil, Vit A esters may be used in partial or complete replacement.
[3] In place of phospholipids such as lecithin, ionic or non ionic surfactant/s may be used.

The phospholipid mixture is dispersed in the oil and adsorbed on to the Neusilin powder. The powder complex is sifted. The hydroxyethyl cellulose is blended into the powder complex. Optionally the powder mixture may be milled to reduce particle size. The powder mixture before or after milling, may be used in preparing a lotion, gel, cream or paste like composition. It may also be used in a base carrier for application to lips and lip sticks.

Example 2

| | |
|---|---|
| Powder complex of Example 1 | 1 wt % to 10 wt % |
| Ultrex 10 | 0.5 wt % |

| | |
|---|---|
| Preservatives, stabilisers, etc | 0.1 wt % to 1wt % |
| Excipients, perfumes, etc | 1 wt % to 20 wt % |
| Demineralised water ad | 100 wt % |

This is an example of a typical skin care composition for adsorbing/absorbing oils and hydrating skin.

The powder mixture is hydrated with about 1 to 8 times its weight of water to prepare a homogeneous and smooth slurry. The carbomer, Ultrex 10 is dispersed in the solution comprising the remainder of the water and paraben. Optionally the water is heated to about 50°C. This is added to the slurry. The pH of the suspension is adjusted to 5.5 to convert into a homogeneous gel. Preservatives such as antimicrobials, stabilisers such as buffers and antioxidants may be included in the composition in addition to any other excipients such as additional surfactants, oils and humectants. The composition is filled and packed into suitable containers such as tubes and jars.

The compositions described are capable of forming external reservoirs comprising a polymeric matrix for adsorbing sebum in exchange for beneficial oils and transfer of hydrating components to the skin. The compositions may tonics, lotions, creams, gels, pastes, lip sticks and water in oil systems. There is also described a method of preparing said lotions, creams, etc containing an ambiphilic powder mixture or complex comprising porous particles, a lipophilic component, hydrophilic attractant/polymer, optionally biologically active compound and excipients.

CLAIMS:

1. An ambiphilic powder mixture comprising;

   a) water insoluble porous particles with specific surface area greater than 50 $m^2/gm$,

   b) at least one lipophilic component,

   c) at least one hydro-active component and/or hydrophilic polymer,

   d) 1 wt % to 50 wt % water,

   e) optionally at least one amphiphilic or amphipathic surfactant,

   f) optionally a biologically active compound and other excipients,

   that is included in skin care compositions with the capacity to adsorb sebum and replenish the skin with beneficial oils and moisture.

2. A lotion, gel, cream or paste like skin care composition prepared by homogeneously mixing and dispersing 1 wt % to 10 wt % of the powder composition from Claim 1 in a suitable base carrier.

3. A lip stick or a water in oil skin care composition comprising 1 wt % to 10 wt % of the powder composition from Claim 1.

4. A skin care composition according to Claims 1 to 4 for adsorbing oils and hydrating skin, scalp and hair.

5. A method to adsorb/absorb sebum and hydrate skin involving a dispersed system comprising;

a) water insoluble porous particles with specific surface area greater than 50 $m^2$/gm,

b) at least one lipophilic component,

c) at least one hydro-active compound dissolved with a swollen hydrophilic polymer,

d) 50 wt % to 99 wt % water,

e) optionally at least one amphiphilic or amphipathic surfactant,

f) optionally a biological active compound and other excipients,

that dries to a porous ambiphilic matrix and provides a reservoir for transfer of lubricating and hydrating component/s to the skin by diffusion.

ABSTRACT:

Compositions are described which are capable of forming external reservoirs comprising a polymeric matrix for adsorbing sebum in exchange for beneficial oils and transfer of hydrating components to the skin. The compositions may be lotions, creams, gels, pastes, lip sticks and water in oil systems. There is also described a method of preparing said lotions, creams, etc containing an ambiphilic powder mixture or complex comprising porous particles, a lipophilic component, hydrophilic attractant/polymer, optionally biologically active compound and excipients.